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Preparation of covalent conjugates of hydroxyethyl starch with active agents, useful as drugs or diagnostic agents, comprises reaction in aqueous medium to give purer, less toxic product

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Patent Family:

Patent No	Kind	Date	Applicat No	Kind	Date	Week
DE 10112825	A1	20021002	DE 10112825	A	20010316	200311 B
WO 200280979	A2	20021017	WO 2002EP2928	A	20020315	200311
CZ 200302430	A3	20031112	WO 2002EP2928	A	20020315	200379
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Priority Applications (No Type Date): DE 10112825 A 20010316

Patent Details:

Patent No	Kind	Lan	Pg	Main IPC	Filing Notes
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DE 10112825	A1	21		A61K-047/48	
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WO 200280979	A2	G		A61K-047/48	
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Designated States (National): AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA
CH CN CO CR CU CZ DE DK DM DZ EC EE ES FI GB GD GE GH GM HR HU ID IL IN
IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ
OM PH PL PT RO RU SD SE SG SI SK SL TJ TM TN TR TT TZ UA UG US UZ VN YU
ZA ZM ZW

Designated States (Regional): AT BE CH CY DE DK EA ES FI FR GB GH GM GR
IE IT KE LS LU MC MW MZ NL OA PT SD SE SL SZ TR TZ UG ZM ZW

CZ 200302430	A3			A61K-047/48	Based on patent WO 200280979
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NO 200304095	A			A61K-047/48	
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Abstract (Basic): DE 10112825 A1

NOVELTY - Production of a covalent conjugate (I) of hydroxyethyl starch (HES) and an active agent (A), comprises reacting HES and (A) in a reaction medium.

DETAILED DESCRIPTION - Production of a covalent conjugate (I) of hydroxyethyl starch (HES) and an active agent (A), comprises reacting HES and (A) in a reaction medium, the reaction medium consists of water or a water-organic solvent mixture containing at least 10 weight. % water.

An INDEPENDENT CLAIM is included for (I).

USE - (I) are used in medicament or diagnostic compositions (claimed). Conjugation with HES ('HES-ylation') is useful for increasing the in vivo half-life, stability and solubility, improving the rheological properties and reducing the toxicity and immunogenicity of (A), while retaining the biological activity.

ADVANTAGE - Reaction of HES and (A) in an aqueous medium (rather than in potentially toxic organic solvents) suppresses side-reactions and provides purer, less toxic conjugates (I). The need for strict quality control to ensure the absence of solvent residues and problems of denaturation of protein or peptide drugs by solvents are eliminated. The products retain a high level of activity and are easy to isolate.

pp; 21 DwgNo 0/9

Title Terms: PREPARATION; COVALENT; CONJUGATE; HYDROXYETHYL; STARCH; ACTIVE ; AGENT; USEFUL; DRUG; DIAGNOSE; AGENT; COMPRISE; REACT; AQUEOUS; MEDIUM; PURE; LESS; TOXIC; PRODUCT

Derwent Class: A11; A96; B04; B07; D16

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